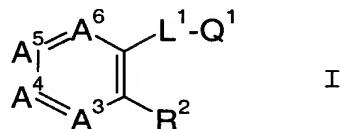


- 1 -

**Clean Pending Claims**

1. (Currently amended) A compound of formula I



5

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>; 10 wherein

R<sup>3</sup> is hydrogen, methyl, methoxy, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-, R<sup>g</sup>NH-, R<sup>h</sup>SO<sub>2</sub>-, hydroxymethyl, formyl, cyano, acetyl, 1-hydroxyethyl, 1-(hydroxyimino)ethyl, 1-(methoxyimino)-ethyl, methylthio or R<sup>f</sup>O<sub>2</sub>C(CH<sub>2</sub>)<sub>2</sub>-;

20 the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy; in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino; or each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen; and R<sup>5</sup> is vinyl, 25 2-cyanovinyl, 2-((1-2C)alkoxy)carbonylvinyl or R<sup>a</sup> in which R<sup>a</sup> is phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy) or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered 30 aromatic ring which has one to three nitrogen atoms, wherein

- 2 -

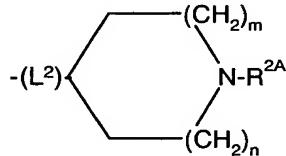
the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

$L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

5       $Q^1$  is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  
 $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$ ,  $-NH-C(CH_3)H-$ ,  
 $-N(CH_3)-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$   
or  $Q^{2F}$  wherein X is a single bond or methylene and the  
10     values of  $L^2$  and  $Q^2$  are together selected from  $-NH-CO-X-Q^{2A}$ ,  
 $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  $-NH-CH_2-Q^{2A}$ ,  
 $-NH-C(CH_3)H-Q^{2A}$ ,  $-N(CH_3)-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,  
 $-NH-CO-Q^{2C}$ ,  $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:  
 $Q^{2A}$  (showing the  $L^2$  to which it is attached) is

15



in which

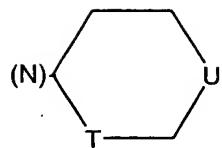
each of m and n independently is 0 or 1, or m is 2 and  
20     n is 1, and

$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-CHRYR^Z$ ,  
 $-CHR^WXR^X$ , or 4-pyridinyl (which is unsubstituted or bears a  
substituent  $R^V$  at the 2- or 3-position) wherein

25      $R^V$  is methyl, hydroxymethyl,  $\{(1-2C)alkoxy\}carbonyl$ ;  
cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $R^W$  and  $R^X$  independently is hydrogen or  
(1-3C)normal alkyl; or  $-CHR^WXR^X$  is 2-indanyl or (showing the  
nitrogen to which it is attached) is

- 3 -



in which T is a single bond or methylene and U is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein q is 0, 1 or 2) or imino  
5 (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;  
RY is hydrogen or methyl; and  
R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl  
(which is unsubstituted or bears one or more substituents  
10 independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein  
15 the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);  
or R<sup>2A</sup> is  $-L^b-CH_2-R^b$  in which  $-L^b-$  is a direct bond,  $-CH_2-$ ,  $-C(CH_3)H-$  or  $-CH_2-CH_2-$ ; and R<sup>b</sup> is carboxy,  
 $\{(1-2C)alkoxy\}carbonyl$ , cyano, carbamoyl or trifluoromethyl;  
20 or R<sup>2A</sup> is  $-CO-RC$  in which RC is hydrogen, (1-3C)alkyl,  $\{(1-2C)alkoxy\}carbonyl-(CH_2)_c-$  (in which c is 1 or 2), phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), heteroaryl (which heteroaryl is a  
25 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen) or  $-NR^dR^e$  in  
30 which each of R<sup>d</sup> and R<sup>e</sup> is independently hydrogen, methyl or

- 4 -

ethyl, or  $-NR^dR^e$  is pyrrolidino, piperidino, morpholino or thiomorpholino;

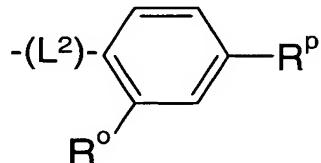
$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

5        $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

10       $Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NRSR^t$  in which each of  $R^s$  and  $R^t$  independently is hydrogen or methyl or  $R^s$  and  $R^t$  together are trimethylene or tetramethylene;

15       $Q^{2E}$  is 1-piperidinyl which bears at the 4-position the group  $-NRSR^t$  (defined as above); and

$Q^{2F}$  (showing the  $L^2$  to which it is attached) is

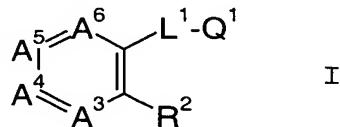


15

in which  $R^o$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^p$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, 20 dimethylaminosulfonyl or  $-J-R^q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NRR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl; or  $-NR^qR^r$  is pyrrolidino.

25

2. (Currently amended) The compound of formula I as claimed in Claim 1



- 5 -

(or a pharmaceutically acceptable salt thereof) wherein:

A<sup>3</sup>, A<sup>4</sup>, A<sup>5</sup> and A<sup>6</sup>, together with the two carbons to which they are attached, complete a substituted benzene in which A<sup>3</sup> is CR<sup>3</sup>, A<sup>4</sup> is CR<sup>4</sup>, A<sup>5</sup> is CR<sup>5</sup>, and A<sup>6</sup> is CR<sup>6</sup>;

wherein

R<sup>3</sup> is hydrogen, methyl, fluoro, chloro or carboxy;

one of R<sup>4</sup> and R<sup>5</sup> is hydrogen, (1-4C)alkyl, halo, trifluoromethyl, trifluoromethoxy, R<sup>f</sup>O-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>O-, HO(CH<sub>2</sub>)<sub>a</sub>O- (in which a is 2, 3 or 4), R<sup>f</sup>O<sub>2</sub>C-, R<sup>f</sup>O<sub>2</sub>CCH<sub>2</sub>-,

R<sup>g</sup>NH- or R<sup>h</sup>SO<sub>2</sub>-;

the other of R<sup>4</sup> and R<sup>5</sup> is hydrogen; and

R<sup>6</sup> is hydrogen, methyl, fluoro, chloro or methoxy;

in which R<sup>f</sup> is hydrogen, (1-4C)alkyl or benzyl; R<sup>g</sup> is hydrogen or R<sup>h</sup>SO<sub>2</sub>-; and R<sup>h</sup> is (1-4C)alkyl or dimethylamino;

L<sup>1</sup> is -CO-NH- such that -L<sup>1</sup>-Q<sup>1</sup> is -CO-NH-Q<sup>1</sup>;

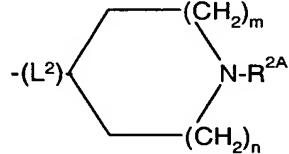
Q<sup>1</sup> is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

R<sup>2</sup> is -L<sup>2</sup>-Q<sup>2</sup> in which -L<sup>2</sup>- is -NH-CO-, -NH-CO-X-,

-NH-CO-O-X-, -NH-CO-NH-X-, -NH-CH<sub>2</sub>- or -O-CH<sub>2</sub>-; and Q<sup>2</sup> is Q<sup>2A</sup>, Q<sup>2B</sup>, Q<sup>2C</sup>, Q<sup>2D</sup>, Q<sup>2E</sup> or Q<sup>2F</sup> wherein X is a single bond or methylene and the values of L<sup>2</sup> and Q<sup>2</sup> are together selected from -NH-CO-X-Q<sup>2A</sup>, -NH-CO-O-X-Q<sup>2A</sup>, -NH-CO-NH-X-Q<sup>2A</sup>, -NH-CH<sub>2</sub>-Q<sup>2A</sup>, -O-CH<sub>2</sub>-Q<sup>2A</sup>, -NH-CO-X-Q<sup>2B</sup>, -NH-CO-Q<sup>2C</sup>,

-NH-CO-Q<sup>2D</sup>, -NH-CO-Q<sup>2E</sup> and -NH-CO-Q<sup>2F</sup> in which:

Q<sup>2A</sup> (showing the L<sup>2</sup> to which it is attached) is



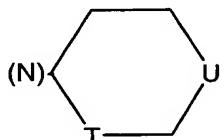
30 in which

each of m and n independently is 0 or 1, and

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$R^{2A}$  is hydrogen, t-butyl, methylsulfonyl,  $-CHRYR^Z$ ,  $-CHR^WXR^X$ , or 4-pyridinyl (which is unsubstituted or bears a substituent  $R^V$  at the 2- or 3-position) wherein

$R^V$  is methyl, hydroxymethyl,  $\{(1-2C)\text{alkoxy}\}\text{carbonyl}$ ; 5 cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino; each of  $R^W$  and  $R^X$  independently is hydrogen or (1-3C)normal alkyl; or  $-CHR^WXR^X$  is 2-indanyl or (showing the nitrogen to which it is attached) is



10

in which  $T$  is a single bond or methylene and  $U$  is methylene, ethylene, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2) or imino (which may bear a methyl substituent), or  $T$  is

15 ethan-1,1-diyl and  $U$  is a single bond or methylene;

$RY$  is hydrogen or methyl; and

20  $R^Z$  is isopropyl, t-butyl, (3-6C)cycloalkyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or 25 more methyl substituents on carbon or nitrogen);

$Q^{2B}$  is 1-piperazinyl which bears at the 4-position the group  $R^{2A}$  (defined as above);

25  $Q^{2C}$  is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group  $R^{2A}$  (defined as above);

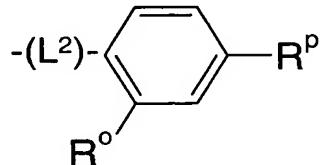
30  $Q^{2D}$  is cyclohexyl which bears at the 4-position the group  $-NR^SR^T$  in which each of  $R^S$  and  $R^T$  independently is

- 7 -

hydrogen or methyl or  $R^S$  and  $R^T$  together are trimethylene or tetramethylene;

$Q^2E$  is 1-piperidinyl which bears at the 4-position the group  $-NR^SRT$  (defined as above); and

5        $Q^2F$  (showing the  $L^2$  to which it is attached) is



in which  $R^O$  is hydrogen, halo, (1-6C)alkyl, hydroxy, (1-4C)alkoxy, benzyloxy or (1-4C)alkylthio; and  $R^P$  is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl, dimethylaminosulfonyl or  $-J-R^Q$  in which  $J$  is a single bond, methylene, carbonyl, oxy,  $-S(O)_q-$  (wherein  $q$  is 0, 1 or 2), or  $-NR^r-$  (wherein  $R^r$  is hydrogen or methyl); and  $R^Q$  is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

3. (Currently amended) A compound of formula I (or a pharmaceutically acceptable salt thereof) as claimed in Claim 2 wherein:

20        $A^3$ ,  $A^4$ ,  $A^5$  and  $A^6$ , together with the two carbons to which they are attached, complete a substituted benzene in which  $A^3$  is  $CR^3$ ,  $A^4$  is  $CR^4$ ,  $A^5$  is  $CR^5$ , and  $A^6$  is  $CR^6$ ; wherein

$R^3$  is hydrogen;

25       one of  $R^4$  and  $R^5$  is hydrogen, methyl, fluoro, chloro, trifluoromethyl, trifluoromethoxy,  $R^fO_2C-$  or  $R^gNH-$ ; the other of  $R^4$  and  $R^5$  is hydrogen; and

$R^6$  is hydrogen;

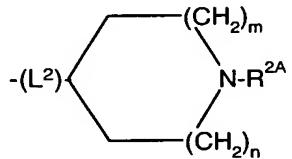
30       in which  $R^f$  is hydrogen, (1-4C)alkyl or benzyl;  $R^g$  is hydrogen or  $R^hSO_2-$ ; and  $R^h$  is (1-4C)alkyl or dimethylamino;  $L^1$  is  $-CO-NH-$  such that  $-L^1-Q^1$  is  $-CO-NH-Q^1$ ;

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$Q^1$  is 3-pyridazinyl (which may bear a methyl, fluoro or chloro substituent at the 6-position);

$R^2$  is  $-L^2-Q^2$  in which  $-L^2-$  is  $-NH-CO-$ ,  $-NH-CO-X-$ ,  
 $-NH-CO-O-X-$ ,  $-NH-CO-NH-X-$ ,  $-NH-CH_2-$  or  $-O-CH_2-$ ; and  $Q^2$  is  
5  $Q^{2A}$ ,  $Q^{2B}$ ,  $Q^{2C}$ ,  $Q^{2D}$ ,  $Q^{2E}$  or  $Q^{2F}$  wherein X is a single bond or  
methylene and the values of  $L^2$  and  $Q^2$  are together selected  
from  $-NH-CO-X-Q^{2A}$ ,  $-NH-CO-O-X-Q^{2A}$ ,  $-NH-CO-NH-X-Q^{2A}$ ,  
 $-NH-CH_2-Q^{2A}$ ,  $-O-CH_2-Q^{2A}$ ,  $-NH-CO-X-Q^{2B}$ ,  $-NH-CO-Q^{2C}$ ,  
 $-NH-CO-Q^{2D}$ ,  $-NH-CO-Q^{2E}$  and  $-NH-CO-Q^{2F}$  in which:

10  $Q^{2A}$  (showing the  $L^2$  to which it is attached) is



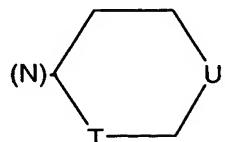
in which

15 each of m and n independently is 0 or 1, and

$R^{2A}$  is hydrogen,  $-CHRYR^Z$ ,  $-CHR^W R^X$ , or 4-pyridinyl  
(which is unsubstituted or bears a substituent  $R^V$  at the 2-  
or 3-position) wherein

20  $R^V$  is methyl, hydroxymethyl,  $\{(1-2C)\}alkoxy\}carbonyl$ ;  
cyano, carbamoyl, thiocarbamoyl, or N-hydroxyamidino;

each of  $R^W$  and  $R^X$  independently is hydrogen or  
(1-3C)normal alkyl; or  $-CHR^W R^X$  is 2-indanyl or (showing the  
nitrogen to which it is attached) is



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in which T is a single bond or methylene and U is methylene, oxy, thioxy or imino (which may bear a methyl substituent), or T is ethan-1,1-diyl and U is a single bond or methylene;

RY is hydrogen or methyl; and

5 R<sup>Z</sup> is isopropyl, t-butyl, (3-6C)cyclopropyl, phenyl (which is unsubstituted or bears one or more substituents independently selected from halo, methyl, methoxy and hydroxy), 4-quinolinyl or heteroaryl (which heteroaryl is a 5-membered aromatic ring which has one to four heteroatoms 10 selected from sulfur, oxygen and nitrogen or is a 6-membered aromatic ring which has one to three nitrogen atoms, wherein the heteroaryl is attached at carbon and may bear one or more methyl substituents on carbon or nitrogen);

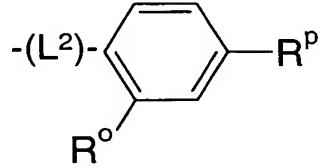
15 Q<sup>2B</sup> is 1-piperazinyl which bears at the 4-position the group R<sup>2A</sup> (defined as above);

Q<sup>2C</sup> is 3,4-didehydropiperidin-4-yl which bears at the 1-position the group R<sup>2A</sup> (defined as above);

20 Q<sup>2D</sup> is cyclohexyl which bears at the 4-position the group -NRS<sup>t</sup>R<sup>s</sup> in which each of R<sup>s</sup> and R<sup>t</sup> independently is hydrogen or methyl or R<sup>s</sup> and R<sup>t</sup> together are trimethylene or tetramethylene;

Q<sup>2E</sup> is 1-piperidinyl which bears at the 4-position the group -NRS<sup>t</sup>R<sup>s</sup> (defined as above); and

Q<sup>2F</sup> (showing the L<sup>2</sup> to which it is attached) is



25

in which R<sup>o</sup> is hydrogen and R<sup>p</sup> is acetylamino, 1-hydroxyethyl, 1-hydroxy-1-methylethyl, 1-methoxy-1-methylethyl, 4-piperidinyl, 4-pyridinyl,

30 dimethylaminosulfonyl or -J-R<sup>q</sup> in which J is a single bond, methylene, carbonyl, oxy, -S(O)<sub>q</sub>- (wherein q is 0, 1 or 2),

- 10 -

or -NR<sup>r</sup>- (wherein R<sup>r</sup> is hydrogen or methyl); and R<sup>q</sup> is (1-6C)alkyl, phenyl, 3-pyridyl or 4-pyridyl.

4. (Original) The compound of Claim 1, 2 or 3 wherein  
5 halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl  
or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl;  
(1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl,  
isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl,  
butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl,  
10 cyclobutyl, cyclohexyl or cyclohexyl.

5. (Currently amended) The compound of Claim 4  
wherein Q<sup>1</sup> is 6-chloropyridazin-3-yl.

15 6. (Currently amended) The compound of Claim 4  
wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino,  
(1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-  
pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrroli-  
20 dinyl)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piper-  
idin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-  
4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-  
piperidin-4-ylmethyl]amino.

25 7. (Currently amended) The compound as claimed in  
Claim 4 wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

30 8. (Currently amended) The compound as claimed in  
Claim 4 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is  
chloro or fluoro.

9. (Currently amended) The compound as claimed in  
Claim 1 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is  
R<sup>a</sup> wherein R<sup>a</sup> is phenyl, furanyl, thiienyl, 2-isothiazolyl or

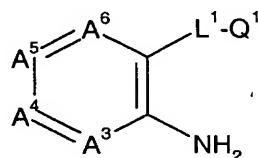
- 11 -

pyridyl; and wherein halo is fluoro, chloro, bromo or iodo; (1-2C)alkyl is methyl or ethyl; (1-3C)normal alkyl is methyl, ethyl or propyl; (1-4C)alkyl is methyl, ethyl, propyl, isopropyl, butyl, isobutyl, or t-butyl; (1-6C)alkyl is methyl, ethyl, propyl, butyl, pentyl or hexyl; (3-6C)cycloalkyl is cyclopropyl, cyclobutyl, cyclohexyl or cyclohexyl.

10. (Currently amended) The pharmaceutically acceptable salt of a compound of formula I as claimed in any of Claims 1-3 which is an acid-addition salt made from a basic compound of formula I and an acid which provides a pharmaceutically acceptable anion or a salt which is made from an acidic compound of formula I and a base which 15 provides a pharmaceutically acceptable cation.

11. (Currently amended) A pharmaceutical formulation comprising in association with a pharmaceutically acceptable carrier, diluent or excipient, a novel compound of formula I (or a pharmaceutically acceptable salt thereof) as provided 20 in any of Claims 1-3 .

12. (Original) A process for preparing a compound of formula I (or a pharmaceutically acceptable salt thereof) as 25 provided in Claim 1 or 2 which is selected from (A) for a compound of formula I in which  $-L^2-Q^2$ , is  $-NH-CO-Q^2$ ,  $-NH-CO-X-Q^2$ ,  $-NH-CO-O-X-Q^2$  or  $-NH-CO-NH-X-Q^2$ , acylating an amine of formula II,

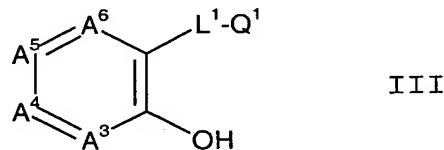


II

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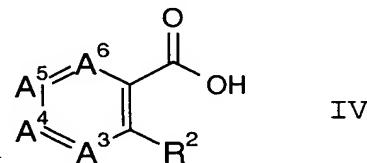
using a corresponding acid of formula HO-CO-Q<sup>2</sup>, HO-CO-X-Q<sup>2</sup>, HO-CO-O-X-Q<sup>2</sup>, or HO-CO-NH-X-Q<sup>2</sup>, or an activated derivative thereof;

(B) for a compound of formula I in which -L<sup>2</sup>-Q<sup>2</sup> is  
5 -O-CH<sub>2</sub>-Q<sup>2A</sup>, alkylating a phenol of formula III



using a reagent of formula Y-CH<sub>2</sub>-Q<sup>2A</sup> in which Y is a  
10 conventional leaving group;

(C) acylating an amine of formula H<sub>2</sub>N-Q<sup>1</sup>, or a deprotonated derivative thereof, using an acid of formula IV, or an activated derivative thereof;

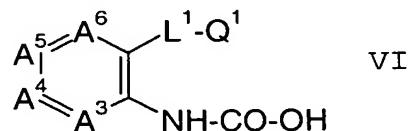


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(D) for a compound of formula I in which R<sup>2</sup> is -NH-CH<sub>2</sub>-Q<sup>2A</sup>, alkylating an amine of formula II directly, using a compound of formula Y-CH<sub>2</sub>-Q<sup>2A</sup>, or indirectly by  
20 reductive alkylation using an aldehyde of formula Q<sup>2A</sup>-CHO;

(E) for a compound of formula I in which R<sup>2</sup> is -NH-CO-O-X-Q<sup>2A</sup>, or -NH-CO-NH-X-Q<sup>2A</sup>, acylating an alcohol of formula HO-X-Q<sup>2A</sup> or an amine of formula NH<sub>2</sub>-X-Q<sup>2A</sup>, using an activated derivative of an acid of formula VI;

25

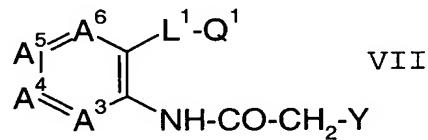


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(F) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is a single bond, acylating at the 1-position a piperazine of formula H-Q<sup>2B</sup>, using an activated derivative of an acid of formula VI;

(G) for a compound of formula I in which R<sup>2</sup> is -NH-CO-X-Q<sup>2B</sup> in which X is methylene, alkylating at the 1-position a piperazine of formula H-Q<sup>2B</sup>, using an alkylating agent of formula VII

10



in which Y is a leaving group;

(H) for a compound of formula I in which R<sup>2A</sup> is methylsulfonyl, substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an activated derivative of methanesulfonic acid;

(I) for a compound of formula I in which R<sup>2A</sup> is -CHRYR<sup>Z</sup> or -CHR<sup>W</sup>R<sup>X</sup>, alkylating the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using an alkylating agent of formula Y-CHRYR<sup>Z</sup> or Y-CHR<sup>W</sup>R<sup>X</sup> or reductively alkylating the amine using a compound of formula RY-CO-R<sup>Z</sup> or R<sup>W</sup>-CO-R<sup>X</sup>;

(J) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl (which is unsubstituted or bears a substituent R<sup>V</sup> at the 2- or 3-position), substituting the amino nitrogen of a corresponding compound of formula I in which R<sup>2A</sup> is hydrogen using a corresponding pyridine reagent bearing a leaving group Y at the 4-position;

(K) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is alkoxy carbonyl, esterifying a corresponding compound of formula I in which R<sup>V</sup> is carboxy;

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(L) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is hydroxymethyl, reducing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

5 (M) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is carbamoyl, amidating the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

10 (N) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is thiocarbamoyl, adding H<sub>2</sub>S to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

15 (O) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is N-hydroxyamidino, adding H<sub>2</sub>NOH to the nitrile of a corresponding compound of formula I in which R<sup>V</sup> is cyano;

20 (P) for a compound of formula I in which R<sup>2A</sup> is 4-pyridinyl in which R<sup>V</sup> is carboxy, decomposing the ester of a corresponding compound of formula I in which R<sup>V</sup> is alkoxy carbonyl;

(Q) for a compound of formula I in which -NR<sup>S</sup>R<sup>t</sup> is other than amino, alkylating a corresponding compound of formula I in which -NR<sup>S</sup>R<sup>t</sup> is amino using a conventional method;

25 (R) for a compound of formula I which bears -NR<sup>S</sup>R<sup>t</sup>, reductively alkylating H-NR<sup>S</sup>R<sup>t</sup> using a corresponding compound but in which the carbon to bear the -NR<sup>S</sup>R<sup>t</sup> group bears an oxo group;

30 (S) for a compound of formula I in which RP is 1-hydroxy-1-methylethyl, adding a methyl group to the carbonyl group of a corresponding compound of formula I in which RP is acetyl using an organometallic reagent;

(T) for a compound of formula I in which RP is 1-methoxy-1-methylethyl, treating a corresponding compound

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of formula I in which RP is 1-hydroxy-1-methylethyl with methanol and an acid catalyst;

(U) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino, reducing the nitro group of a compound corresponding to a compound of formula I but in which R<sup>4</sup> or R<sup>5</sup> is nitro;

(V) for a compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is R<sup>G</sup>NH- and R<sup>G</sup> is R<sup>h</sup>SO<sub>2</sub>-, substituting the amino group of a corresponding compound of formula I in which R<sup>4</sup> or R<sup>5</sup> is amino using an activated derivative of the sulfonic acid R<sup>h</sup>SO<sub>2</sub>-OH;

whereafter, for any of the above procedures, when a functional group is protected using a protecting group, removing the protecting group;

whereafter, for any of the above procedures, when a pharmaceutically acceptable salt of a compound of formula I is required, it is obtained by reacting the basic form of a basic compound of formula I with an acid affording a physiologically acceptable counterion or the acidic form of an acidic compound of formula I with a base affording a physiologically acceptable counterion or by any other conventional procedure;

and wherein, unless otherwise specified, A<sup>3</sup>-A<sup>6</sup>, L<sup>1</sup>, Q<sup>1</sup> and R<sup>2</sup> have any of the values defined in Claim 1 or 2.

25 13. (Currently amended) A method of inhibiting factor Xa in a mammal comprising administering to the mammal in need thereof, an effective amount of a compound of formula I as provided in any of Claims 1-3 1-10.

30 14-16. (Cancelled)

17. (New) The compound of Claim 5 wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,

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(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolydiny1)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

18. (New) The compound as claimed in Claim 5 wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

10

19. (New) The compound as claimed in Claim 6 wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

15

20. (New) The compound as claimed in Claim 17 wherein each of R<sup>3</sup>-R<sup>6</sup> is hydrogen.

21. (New) The compound as claimed in Claim 5 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or fluoro.

20

22. (New) The compound as claimed in Claim 6 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or fluoro.

25

23. (New) The compound as claimed in Claim 17 wherein each of R<sup>3</sup>, R<sup>4</sup> and R<sup>6</sup> is hydrogen and R<sup>5</sup> is chloro or fluoro.

30

24. (New) The compound of Claim 9 wherein Q<sup>1</sup> is 6-chloropyridazin-3-yl.

25. (New) The compound of Claim 9 wherein R<sup>2</sup> is (1-isopropylpiperidin-4-ylcarbonyl)amino, (1-cyclohexylpiperidin-4-ylcarbonyl)amino,

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(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolydiny1)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

26. (New) The compound of Claim 24 wherein R<sup>2</sup> is  
(1-isopropylpiperidin-4-ylcarbonyl)amino,  
10 (1-cyclohexylpiperidin-4-ylcarbonyl)amino,  
(4-isopropylpiperazin-1-ylcarbonyl)amino, [1-(tetrahydro-pyran-4-yl)piperidin-4-ylcarbonyl]amino, [4-(1-pyrrolydiny1)piperidin-1-ylcarbonyl]amino, [1-(4-pyridinyl)piperidin-4-ylmethyl]amino, [1-(2-carboxypyridin-4-yl)piperidin-15 4-ylmethyl]amino, or [1-(2-methoxycarbonylpyridin-4-yl)-piperidin-4-ylmethyl]amino.

27. (New) The compound selected from  
N-(6-chloropyridazin-3-yl)-2-[[1-(4-pyridinyl)-20 piperidin-4-ylcarbonyl]amino]benzamide and  
5-chloro-N-(6-chloropyridazin-3-yl)-2-[(1-isopropyl-piperidin-4-ylcarbonyl)amino]benzamide, or  
a pharmaceutically acceptable salt thereof.